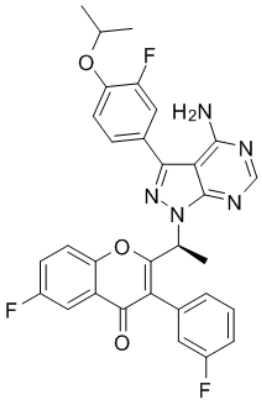
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Umbralisib
Cat. No.	:	PC-42941
CAS No.	:	1532533-67-7
Molecular Formula	:	$C_{31}H_{24}F_3N_5O_3$
Molecular Weight	:	571.5492
Target	:	PI3K
Solubility	:	10 mM in DMSO



Biological Activity

Umbralisib (RP5264, TGR1202, TGR-1202) is a potent, selective, orally available **PI3Kδ** inhibitor with IC50 of 22 nM, 50-fold selectivity over PI3Kα/β and >10,000 fold over PI3Kγ.
TGR1202 enhances Brentuximab Vedotin-induced Hodgkin lymphoma cell death via mitotic arrest, demonstrates highly synergistic effect with the proteasome inhibitor carfilzomib in lymphoma, leukemia, and myeloma cell lines and primary lymphoma and leukemia cells
TGR1202 synergistically disrupts the 4E-BP1-eIF4F-c-Myc axis with carfilzomib, also potently inhibits 60% of the activity of **CK1ε** at 1 uM.

References

Locatelli SL, et al. ***Leukemia.*** 2016 Dec;30(12):2402-2405.

Deng C, et al. ***Blood.*** 2017 Jan 5;129(1):88-99.

Burris HA 3rd, et al. ***Lancet Oncol.*** 2018 Feb 20. pii: S1470-2045(18)30082-2.

E-mail: tech@probechem.com